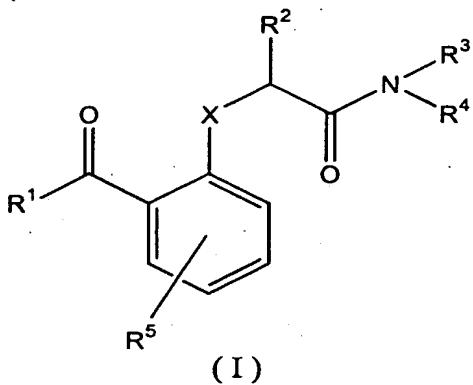


CLAIMS

1. A compound of formula (I)



5

wherein:

X is C, O, or N;

R¹ is C₁₋₈alkyl; C₃₋₆cycloalkyl; C₆₋₁₄aryl which may be optionally substituted with one or
 10 more substituents selected from the group consisting of halogen, -CF₃, C₁₋₈alkyl,
 C₁₋₈alkylamino, alkoxy, C₃₋₆cycloalkylC₂₋₆alkenyl, C₆₋₁₄arylC₂₋₆alkenyl, -CN, -NO₂, -
 NH₂, -SR⁶, -S(O)₂R⁶, -S(O)R⁷, -S(O)₂R⁷, -C(O)R⁷, C₂₋₆alkenyl which may be
 optionally substituted with a substituent selected from the group consisting of hydroxy,
 halogen, aryl, and heterocycle, and C₂₋₆alkynyl which may be optionally substituted
 15 with a substituent selected from the group consisting of hydroxy, halogen, aryl, C₃₋₆cycloalkyl, and heterocycle; or heterocycle, optionally substituted with one or more
 substituents selected from the group consisting of halogen, C₁₋₈alkyl, -CN, C₆₋₁₄arylC₁₋₈alkyl and heterocycle;

R⁶ is C₁₋₈alkyl, optionally substituted with one or more substituents selected from
 20 the group consisting of hydroxy, halogen, -CF₃, aryl, and heterocycle;

R⁷ is C₁₋₈alkyl, optionally substituted with one or more substituents selected from
 the group consisting of hydroxy, halogen, aryl, C₃₋₆cycloalkyl and heterocycle; -NH₂;
 or heterocycle;

25 R² is hydrogen, halogen, or C₁₋₈alkyl;

R³ and R⁴ are independently hydrogen; hydroxy; heterocycle optionally substituted with
 one or more substituents selected from the group consisting of oxo, hydroxy,
 hydroxyC₁₋₈alkyl, halogen, C₁₋₈alkyl, -OR¹¹, -S(O)₂NR⁸R⁹, and -SR¹⁰N(R¹⁰)₂; or C₆₋

C_{6-14} aryl substituted with one or more substituents selected from the group consisting of hydroxy, halogen, $-CF_3$, C_{1-8} alkyl, hydroxy C_{1-8} alkyl, $-CN$, $-NO_2$, C_{1-8} alkylamino, heterocycle C_{1-8} alkyl, $-C(O)NH_2$, $-S(O)R^7$, $-S(O)_2R^7$, $-C(O)R^7$, $-NS(O)_2R^7$, $-S(O)_2NR^8R^9$, $-S(O)_2NHR^{11}$, $-S(O)_2R^{11}$, $-S(O)_2NR^7COR^{11}$, $-S(O)_2NHCOR^{11}$, $-S(O)_2[COR^{11}]_n$ wherein n is 1, 2, or 3, $-OR^{11}$, $-OR^{11}OR^{11}$, $-C(O)R^{11}$, $-C(O)NR^{11}$, $-C(O)OR^{11}$, $-NR^{11}$, $-NC(O)R^{11}$, heterocycle C_{2-6} alkenyl, heterocycle which may be optionally substituted with one or more substituents selected from the group consisting of oxo, C_{1-8} alkyl, and $C(O)OR^{11}$, and C_{1-8} alkyl which may be optionally substituted with one or more substituents selected from the group consisting of $-CN$ and heterocycle, optionally substituted with $-C(O)R^{11}$; provided that R^3 and R^4 cannot both be hydrogen or hydroxy;

R^8 and R^9 are independently selected from the group consisting of hydrogen, C_{3-6} cycloalkyl, C_{1-8} alkyl optionally substituted with one or more substituents selected from the group consisting of oxo, heterocycle, CN and C_{6-14} aryl optionally substituted with alkoxy, C_{1-8} alkylamino, C_{1-8} alkylheterocycle, heterocycle, heterocycle C_{1-8} alkyl, C_{3-6} cycloalkyl C_{1-8} alkyl, and C_{3-6} cycloalkyl;

R^{10} is C_{1-8} alkyl;

R^{11} is C_{1-8} alkyl, optionally substituted with one or more substituents selected from the group consisting of hydrogen, hydroxy, halogen, C_{1-8} alkyl, C_{3-6} cycloalkyl, alkoxy, $-S(O)_2NR^8R^9$, $NCONH_2$, and heterocycle optionally substituted with one or more substituents selected from the group consisting of oxo, hydroxy, and C_{1-8} alkyl; heterocycle optionally substituted with heterocycle C_{1-8} alkyl; or C_{6-14} aryl optionally substituted with alkoxy;

R^5 is hydrogen, halogen, C_{1-8} alkyl, $-NO_2$, $-NH_2$, C_{1-8} alkylamino, CF_3 , or alkoxy; or a pharmaceutically acceptable derivative thereof,
provided that

(a) when X is N; R^1 is C_{6-14} aryl substituted with halogen; R^2 and R^3 are hydrogen; R^5 is halogen; R^4 cannot be heterocycle substituted with C_{1-8} alkyl;

(b) when X is C; R^2 is hydrogen, halogen or C_{1-8} alkyl; R^3 is hydrogen; R^4 is C_{6-14} aryl substituted with halogen, hydroxy, or C_{1-8} alkyl; R^5 is hydrogen, halogen, C_{1-8} alkyl, or

alkoxy; then R¹ cannot be C₁₋₈alkyl, C₃₋₆cycloalkyl, or C₆₋₁₄aryl substituted with halogen, C₁₋₈alkyl, alkoxy, or C₆₋₁₄arylC₂₋₆alkenyl; and

(c) when X is C; R² is hydrogen or alkyl, R³ is hydrogen, R⁴ is C₆₋₁₄aryl substituted with halogen, CN, C₁₋₈alkyl, or -NO₂; R⁵ is hydrogen, -NO₂ or NH₂, then R¹ cannot be C₁₀₋₁₄ aryl substituted with alkoxy.

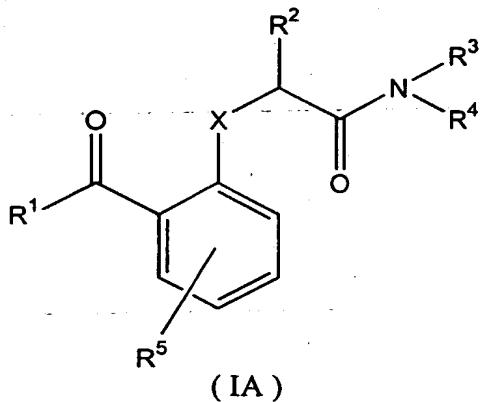
2. A compound of formula (I) according to claim 1 wherein X is O; R¹ is C₆₋₁₄aryl substituted with one or more substituents selected from the group consisting of halogen, -CF₃, C₁₋₈alkyl, -CN, -SR⁶, -S(O)₂R⁶; or heterocycle, optionally substituted with one or more substituents selected from the group consisting of C₁₋₈alkyl, -CN, and C₆₋₁₄arylC₁₋₈alkyl; R⁶ is C₁₋₈alkyl, optionally substituted with halogen; R⁷ is C₁₋₈alkyl optionally substituted with one or more substituents selected from the group consisting of hydroxy, -NH₂, or heterocycle; R² is hydrogen; R³ is hydrogen or C₁₋₈alkyl; R⁴ is heterocycle, optionally substituted with one or more substituents selected from the group consisting of oxo, halogen, C₁₋₈alkyl, -OR¹¹ and -SR¹⁰N(R¹⁰)₂, S(O)₂NR⁸R⁹; or C₆₋₁₄aryl substituted with one or more substituents selected from the group consisting of hydroxy, halogen, -CF₃, C₁₋₈alkyl, hydroxyC₁₋₈alkyl, -CN, -NO₂, -C(O)NH₂, -S(O)R⁷, -S(O)₂R⁷, -S(O)₂NR⁸R⁹, -OR¹¹, -C(O)NR¹¹, -C(O)OR¹¹, -NR¹¹, -NC(O)R¹¹, and heterocycle which may be optionally substituted with one or more substituents selected from the group consisting of oxo, C₁₋₈alkyl and heterocycleC₁₋₈alkyl; R⁸ and R⁹ are the same or different and are selected from the group consisting of hydrogen, C₁₋₈alkyl, C₁₋₈alkylheterocycle, heterocycle, and C₃₋₆cycloalkyl; R¹⁰ is C₁₋₈alkyl; R¹¹ is C₁₋₈alkyl, optionally substituted with -SO₂NR⁸R⁹; and R⁵ is halogen or -NO₂; or a pharmaceutically acceptable derivative thereof.

25 3. A compound of formula (I) according to claim 1 wherein X is O; R¹ is C₆₋₁₄aryl substituted with one or more substituents selected from the group consisting of halogen, -CF₃, C₁₋₈alkyl, and -CN; R² and R³ are hydrogen; R⁴ is C₆₋₁₄aryl substituted with one or more substituents selected from the group consisting of halogen, C₁₋₈alkyl, -CN, -NO₂, -S(O)R⁷, -S(O)₂R⁷, -NS(O)₂R⁷, wherein R⁷ is -NH₂; and R⁵ is halogen; or a pharmaceutically acceptable derivative thereof.

4. A compound of formula (I) according to claim 1 wherein X is O; R¹ is C₆₋₁₄aryl which may be optionally substituted with one or more substituents selected from the group consisting of halogen, C₁₋₈alkyl, CF₃, -CN; R² and R³ are hydrogen; R⁴ is C₆₋₁₄aryl substituted with one or more substituents selected from the group consisting of C₁₋₈alkyl and S(O)₂NR⁸R⁹, wherein R⁸ and R⁹ are independently selected from the group consisting of hydrogen, C₃₋₆cycloalkyl, C₁₋₈alkyl optionally substituted with one or more substituents selected from the group consisting of oxo, heterocycle, CN and C₆₋₁₄aryl optionally substituted with alkoxy, C₁₋₈alkylamino, C₁₋₈alkylheterocycle, heterocycle, heterocycleC₁₋₈alkyl, C₃₋₆cycloalkylC₁₋₈alkyl, and C₃₋₆cycloalkyl.

5 10 5. A compound of formula (I) according to claim 1 wherein R¹ is C₆₋₁₄aryl substituted with one or more substituents selected from the group consisting of halogen, -CF₃, C₁₋₈alkyl, and -CN; R² and R³ are hydrogen; R⁴ is C₆₋₁₄aryl substituted with one or more substituents selected from the group consisting of halogen, C₁₋₈alkyl, -CN, -NO₂, -S(O)R⁷, -S(O)₂R⁷, -NS(O)₂R⁷, wherein R⁷ is -NH₂; and R⁵ is halogen; or a pharmaceutically acceptable derivative thereof provided that when X is C; R² and R³ are hydrogen; R⁴ is C₆₋₁₄aryl substituted with halogen, CN, C₁₋₈alkyl, -NO₂; and R⁵ is halogen, then R¹ cannot be C₆₋₁₀aryl substituted with alkoxy.

20 6. A compound of formula (IA)



25

wherein:

X is C, O, or N;

R¹ is C₆₋₁₄aryl which may be optionally substituted with one or more substituents selected from the group consisting of halogen, -CF₃, C₁₋₈alkyl, C₁₋₈alkylamino, alkoxy, C₃₋₆cycloalkylC₂₋₆alkenyl, C₆₋₁₄arylC₂₋₆alkenyl, -CN, -NO₂, -NH₂, -SR⁶, -S(O)₂R⁶, -S(O)R⁷, -S(O)₂R⁷, -C(O)R⁷, C₂₋₆alkenyl which may be optionally substituted with a substituent selected from the group consisting of hydroxy, halogen, aryl, and heterocycle and C₂₋₆alkynyl which may be optionally substituted with a substituent selected from the group consisting of hydroxy, halogen, aryl, C₃₋₆cycloalkyl, and heterocycle;

5 R⁶ is C₁₋₈alkyl optionally substituted with one or more substituents selected from the group consisting of hydroxyl, halogen, -CF₃, aryl, and heterocycle;

R⁷ is C₁₋₈ alkyl, optionally substituted with one or more substituents selected from the group consisting of hydroxy, halogen, aryl, C₃₋₆cycloalkyl and heterocycle; -NH₂; or heterocycle;

10 R² is hydrogen, halogen, or C₁₋₈alkyl;

R³ is hydrogen;

R⁴ is C₆₋₁₄aryl substituted with one or more substituents selected from the group consisting of hydroxy, halogen, -CF₃, C₁₋₈alkyl, hydroxyC₁₋₈alkyl, -CN, -NO₂, C₁₋₈alkylamino, heterocycleC₁₋₈alkyl, -C(O)NH₂, -S(O)R⁷, -S(O)₂R⁷, -C(O)R⁷, -NS(O)₂R⁷, -S(O)₂NR⁸R⁹, -S(O)₂NHR¹¹, -S(O)₂R¹¹, -S(O)₂NR⁷COR¹¹, -S(O)₂NHCOR¹¹, -S(O)₂[COR¹¹]_n wherein n is 1, 2, or 3, -OR¹¹, -OR¹¹OR¹¹, -C(O)R¹¹, -C(O)NR¹¹, -C(O)OR¹¹, -NR¹¹, -NC(O)R¹¹, heterocycleC₂₋₆alkenyl, heterocycle which may be optionally substituted with one or more substituents selected from the group consisting of oxo, C₁₋₈alkyl, and C(O)OR¹¹, and C₁₋₈alkyl which may be optionally substituted with one or more substituents selected from the group consisting of -CN and heterocycle, optionally substituted with -C(O)R¹¹;

15 R⁸and R⁹ are independently selected from the group consisting of hydrogen, C₃₋₆cycloalkyl, C₁₋₈alkyl optionally substituted with one or more substituents selected from the group consisting of oxo, heterocycle, CN and C₆₋₁₄aryl optionally substituted with alkoxy, C₁₋₈ alkylamino, C₁₋₈alkylheterocycle, heterocycle, heterocycleC₁₋₈alkyl, C₃₋₆cycloalkylC₁₋₈alkyl, and C₃₋₆cycloalkyl;

20

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R¹¹ is C₁₋₈alkyl, optionally substituted with one or more substituents selected from the group consisting of hydrogen, hydroxy, halogen, C₁₋₈alkyl, C₃₋₆cycloalkyl, alkoxy, -S(O)₂NR⁸R⁹, NCONH₂, and heterocycle optionally substituted with one or more substituents selected from the group consisting of oxo, hydroxy, and C₁₋₈alkyl; heterocycle optionally substituted with heterocycleC₁₋₈alkyl; or C₆₋₁₄aryl optionally substituted with alkoxy;

5 R⁵ is hydrogen, halogen, C₁₋₈alkyl, -NO₂, -NH₂, C₁₋₈alkylamino, CF₃, or alkoxy; or a pharmaceutically acceptable derivative thereof provided that

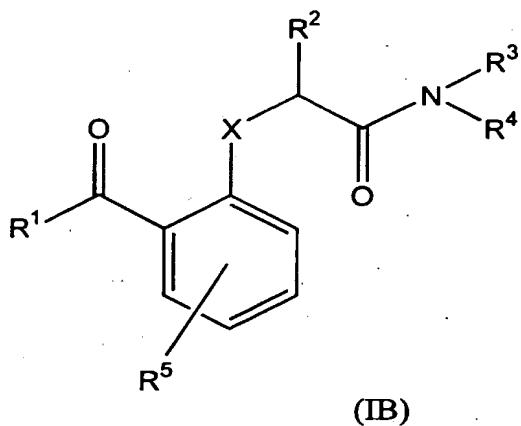
10 a) when X is C; R² is hydrogen, halogen or C₁₋₈alkyl; R³ is hydrogen; R⁴ is C₆₋₁₄aryl substituted with halogen, hydroxy, or C₁₋₈alkyl; R⁵ is hydrogen, halogen, C₁₋₈alkyl, or alkoxy; then R¹ cannot be C₁₋₈alkyl, C₃₋₆cycloalkyl, or C₆₋₁₄aryl substituted with halogen, C₁₋₈alkyl, or C₆₋₁₄arylC₂₋₆alkenyl; and

15 b) when X is C; R² is hydrogen or alkyl; R³ is hydrogen; R⁴ is C₆₋₁₄aryl substituted with halogen, CN, alkyl, or -NO₂; R⁵ is hydrogen, -NO₂, or NH₂, then R¹ cannot be C₁₀₋₁₄ aryl substituted with alkoxy.

7. A compound of formula (IA) according to claim 6 wherein X is O; R¹ is C₆₋₁₄aryl substituted with one or more substituents selected from the group consisting of halogen, -CF₃, C₁₋₈alkyl, -CN, C₂₋₆alkenyl which may be optionally substituted with a substituent selected from the group consisting of hydroxy, halogen, aryl, and heterocycle and C₂₋₆alkynyl which may be optionally substituted with a substituent selected from the group consisting of hydroxy, halogen, aryl, C₃₋₆cycloalkyl, and heterocycle; R² and R³ are hydrogen; R⁴ is C₆₋₁₄aryl substituted with one or more substituents selected from the group consisting of C₁₋₈alkyl, -S(O)₂R⁷, -S(O)₂NR⁸R⁹, -OR¹¹, heterocycleC₂₋₆alkenyl, and heterocycle which may be optionally substituted with oxo; and R⁵ is halogen; or a pharmaceutically acceptable derivative thereof.

8. A compound of compounds of formula (IB)

410



5 wherein:

X is C, O, or N;

R¹ is C₆₋₁₄aryl substituted with one or more substituents selected from the group consisting of halogen, -CF₃, C₁₋₈alkyl, C₁₋₈alkylamino, alkoxy, C₃₋₆cycloalkylC₂₋₆alkenyl, C₆₋₁₄arylC₂₋₆alkenyl, -CN, -NO₂, -NH₂, -SR⁶, -S(O)₂R⁶, -S(O)R⁷, -S(O)₂R⁷, -C(O)R⁷, C₂₋₆alkenyl which may be optionally substituted with a substituent selected from the group consisting of hydroxy, halogen, aryl, and heterocycle, and C₂₋₆alkynyl which may be optionally substituted with a substituent selected from the group consisting of hydroxy, halogen, aryl, C₃₋₆cycloalkyl, and heterocycle;

R⁶ is C₁₋₈alkyl, optionally substituted with one or more substituents selected from the group consisting of hydroxyl, halogen, -CF₃, aryl, and heterocycle;

R⁷ is C₁₋₈alkyl, optionally substituted with one or more substituents selected from the group consisting of hydroxyl, halogen, aryl, C₃₋₆cycloalkyl and heterocycle; -NH₂; or heterocycle;

R² is hydrogen, halogen, or C₁₋₈alkyl;

R³ is hydrogen;

R⁴ is heterocycle, optionally substituted with one or more substituents selected from the group consisting of oxo, hydroxy, hydroxylC₁₋₈alkyl, halogen, C₁₋₈alkyl, -OR¹¹, -SR¹⁰N(R¹⁰)₂, and -S(O)₂NR⁸R⁹;

R⁸ and R⁹ are independently selected from the group consisting of hydrogen, C₃₋₆cycloalkyl, C₁₋₈alkyl optionally substituted with one or more substituents selected

from the group consisting of oxo, heterocycle, CN and C₆₋₁₄aryl optionally substituted with alkoxy, C₁₋₈alkylamino, C₁₋₈alkylheterocycle, heterocycle, heterocycleC₁₋₈alkyl, C₃₋₆cycloalkylC₁₋₈alkyl, and C₃₋₆cycloalkyl;

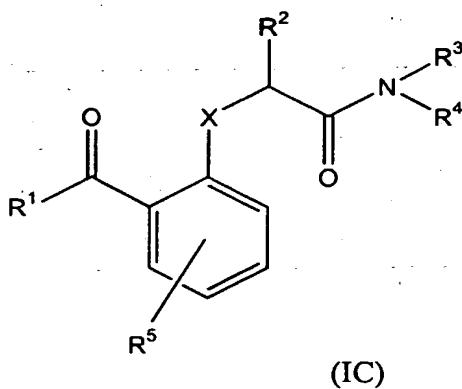
R¹⁰ is C₁₋₈alkyl;

R¹¹ is C₁₋₈alkyl, optionally substituted with one or more substituents selected from the group consisting of hydrogen, hydroxy, halogen, C₁₋₈alkyl, C₃₋₆cycloalkyl, alkoxy, -S(O)₂NR⁸R⁹, NCONH₂, and heterocycle optionally substituted with one or more substituents selected from the group consisting of oxo, hydroxy, and C₁₋₈alkyl; heterocycle optionally substituted with heterocycleC₁₋₈alkyl; or C₆₋₁₄aryl optionally substituted with alkoxy;

R⁵ is hydrogen, halogen, C₁₋₈alkyl, -NO₂, -NH₂, C₁₋₈alkylamino, CF₃, or alkoxy; or a pharmaceutically acceptable derivative thereof provided that when X is N; R¹ is C₆₋₁₄aryl substituted with halogen; R² and R³ are hydrogen; R⁵ is halogen; R⁴ cannot be heterocycle substituted with C₁₋₈alkyl.

9. A compound of formula (IB) according to claim 8 wherein X is O; R¹ is C₆₋₁₄aryl substituted with one or more substituents selected from the group consisting of halogen, -CF₃, and -CN; R² is hydrogen; R³ is hydrogen; R⁴ is heterocycle; and R⁵ is halogen; or a pharmaceutically acceptable derivative thereof.

10. A compound of formula (IC).



25

wherein:

X is C, O, or N;

R¹ is heterocycle, optionally substituted with one or more substituents selected from the group consisting of C₁₋₈alkyl, halogen, -CN, C₆₋₁₄arylC₁₋₈alkyl and heterocycle;

R² is hydrogen, halogen, or C₁₋₈alkyl;

R³ is hydrogen;

R⁴ is C₆₋₁₄aryl substituted with one or more substituents selected from the group consisting of hydroxy, halogen, -CF₃, C₁₋₈alkyl, hydroxyC₁₋₈alkyl, -CN, -NO₂, C₁₋₈alkylamino, heterocycleC₁₋₈alkyl, -C(O)NH₂, -S(O)R⁷, -S(O)₂R⁷, -C(O)R⁷, -NS(O)₂R⁷, -S(O)₂NR⁸R⁹, -S(O)₂NHR¹¹, -S(O)₂R¹¹, -S(O)₂NR⁷COR¹¹, -S(O)₂NHCOR¹¹, -S(O)₂[COR¹¹]_n wherein n is 1, 2, or 3, -OR¹¹, -OR¹¹OR¹¹, -C(O)R¹¹, -C(O)NR¹¹, -C(O)OR¹¹, -NR¹¹, -NC(O)R¹¹, heterocycleC₂₋₆alkenyl, heterocycle which may be optionally substituted with one or more substituents selected from the group consisting of oxo, C₁₋₈alkyl, and C(O)OR¹¹, and C₁₋₈alkyl which may be optionally substituted with one or more substituents selected from the group consisting of -CN and heterocycle, optionally substituted with -C(O)R¹¹;

R⁷ is C₁₋₈ alkyl, optionally substituted with one or more substituents selected from the group consisting of hydroxy, halogen, aryl, C₃₋₆cycloalkyl and heterocycle; -NH₂; or heterocycle;

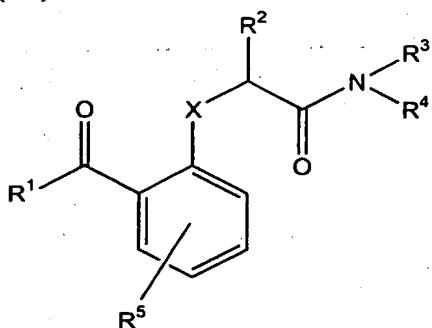
R⁸ and R⁹ are independently selected from the group consisting of hydrogen, C₃₋₆cycloalkyl, C₁₋₈alkyl optionally substituted with one or more substituents selected from the group consisting of oxo, heterocycle, CN and C₆₋₁₄aryl optionally substituted with alkoxy, C₁₋₈ alkylamino, C₁₋₈alkylheterocycle, heterocycle, heterocycleC₁₋₈alkyl, C₃₋₆cycloalkylC₁₋₈alkyl, and C₃₋₆cycloalkyl;

R¹¹ is C₁₋₈alkyl, optionally substituted with one or more substituents selected from the group consisting of hydrogen, C₁₋₈alkyl, alkoxy, -S(O)₂NR⁸R⁹, -NR⁸R⁹, and heterocycle, optionally substituted with one or more substituents selected from the group consisting of oxo and C₁₋₈alkyl;

R⁵ is hydrogen, halogen, C₁₋₈alkyl, -NO₂, -NH₂, C₁₋₈alkylamino, CF₃, or alkoxy; or a pharmaceutically acceptable derivative thereof.

11. A compound of formula (IC) according to claim 10 wherein X is O; R¹ is heterocycle, optionally substituted with -CN; R² and R³ are hydrogen; R⁴ is C₆₋₁₄aryl substituted with one or more substituents selected from the group consisting of C₁₋₈alkyl, -S(O)₂NR⁸R⁹, -OR¹¹, and heterocycle which may be optionally substituted with one or 5 more substituents selected from the group consisting of oxo; and R⁵ is halogen; or a pharmaceutically acceptable derivative thereof.

12. A compound of formula (ID):



10

(ID)

wherein:

15

X is C, O, or N;

R¹ is heterocycle, optionally substituted with one or more substituents selected from the group consisting of C₁₋₈alkyl, halogen, -CN, C₆₋₁₄arylC₁₋₈alkyl and heterocycle;

20

R² is hydrogen, halogen, or C₁₋₈alkyl;

R³ and R⁴ are independently hydrogen; hydroxy; heterocycle optionally substituted with one or more substituents selected from the group consisting of oxo, hydroxy,

25

hydroxyC₁₋₈alkyl, halogen, C₁₋₈alkyl, -OR¹¹, -S(O)₂NR⁸R⁹, and -SR¹⁰N(R¹⁰)₂; or R³ and R⁴ together with the nitrogen atom to which they are attached form a heterocycle which may be optionally substituted with C₆₋₁₄aryl, which may be optionally substituted with one or more substituents selected from the group consisting of C₁₋₈alkyl and -NO₂; provided that R³ and R⁴ cannot both be hydrogen or hydroxy;

30

R⁸ and R⁹ are independently selected from the group consisting of hydrogen, C₃₋₆cycloalkyl, C₁₋₈alkyl optionally substituted with one or more substituents selected

from the group consisting of oxo, heterocycle, CN and C₆₋₁₄aryl optionally substituted with alkoxy, C₁₋₈alkylamino, C₁₋₈alkylheterocycle, heterocycle, heterocycleC₁₋₈alkyl, C₃₋₆cycloalkylC₁₋₈alkyl, and C₃₋₆cycloalkyl;

R¹⁰ is C₁₋₈alkyl;

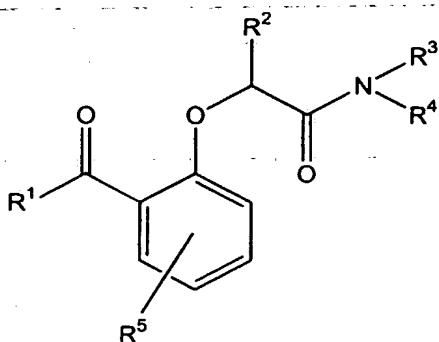
5 R¹¹ is C₁₋₈alkyl, optionally substituted with one or more substituents selected from the group consisting of hydrogen, C₁₋₈alkyl, -S(O)₂NR⁸R⁹, and heterocycle optionally substituted with one or more substituents selected from the group consisting of oxo, and C₁₋₈alkyl;

10 R⁵ is hydrogen, halogen, C₁₋₈alkyl, -NO₂, -NH₂, C₁₋₈alkylamino, CF₃, or alkoxy; or a pharmaceutically acceptable derivative thereof.

13. A compound of formula (II) according to claim 12 wherein X is O; R¹ is heterocycle; R² and R³ are hydrogen; R⁴ is heterocycle; and R⁵ is halogen; or a pharmaceutically acceptable derivative thereof.

Sub A2 14. A compound according to any of claims 1, 5, 6, 8, 10, or 12 wherein X is O.

15. A compound of formula (II):



R¹ is C₆₋₁₄aryl which may be optionally substituted with one or more substituents selected from the group consisting of halogen, -CF₃, C₁₋₈alkyl, C₁₋₈alkylamino, alkoxy, C₃₋₆cycloalkylC₂₋₆alkenyl, C₆₋₁₄arylC₂₋₆alkenyl, -CN, -NO₂, -NH₂, -SR⁶, -S(O)₂R⁶, -S(O)R⁷, -S(O)₂R⁷, -C(O)R⁷, C₂₋₆alkenyl which may be optionally substituted with a substituent selected from the group consisting of hydroxy, halogen, aryl, and heterocycle, and C₂₋₆alkynyl which may be optionally substituted with a substituent selected from the group consisting of hydroxy, halogen, aryl, C₃₋₆cycloalkyl, and heterocycle;

R⁶ is C₁₋₈alkyl, optionally substituted with one or more substituents selected from the group consisting of hydroxy, halogen, -CF₃, aryl, and heterocycle;

R⁷ is C₁₋₈ alkyl, optionally substituted with one or more substituents selected from the group consisting of hydroxy, halogen, aryl, C₃₋₆cycloalkyl and heterocycle; -NH₂; or heterocycle;

R² is hydrogen, halogen, or C₁₋₈alkyl;

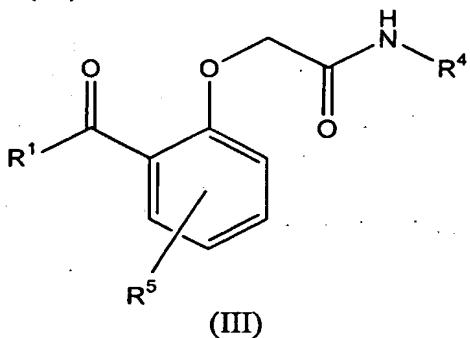
R³ and R⁴ form a heterocycle which may be optionally substituted with C₆₋₁₄aryl, which may be optionally substituted with one or more substituents selected from the group consisting of C₁₋₈alkyl and -NO₂;

provided that when R¹ is unsubstituted C₆₋₁₄aryl, then R³R⁴ is substituted.

R⁵ is hydrogen, halogen, C₁₋₈alkyl, -NO₂, -NH₂, C₁₋₈alkylamino, CF₃, or alkoxy; or a pharmaceutically acceptable derivative thereof.

16. A compound of formula (II) according to claim 15 wherein R¹ is C₆₋₁₄aryl which is substituted with halogen; R² is hydrogen; R³ and R⁴ form a heterocycle which may be optionally substituted with C₆₋₁₄aryl, which may be optionally substituted with one or more substituents selected from the group consisting of C₁₋₈alkyl and -NO₂; and R⁵ is halogen; or a pharmaceutically acceptable derivative thereof.

17. A compound of formula (III):



5 wherein:

R¹ is C₆₋₁₄aryl which may be optionally substituted with one or more substituents selected from the group consisting of halogen, -CF₃, C₁₋₈alkyl, C₁₋₈alkylamino, alkoxy, C₃₋₆cycloalkylC₂₋₆alkenyl, C₆₋₁₄arylC₂₋₆alkenyl, -CN, -NO₂, -NH₂, -SR⁶, -S(O)₂R⁶,

10 -S(O)R⁷, -S(O)₂R⁷, -C(O)R⁷, C₂₋₆alkenyl which may be optionally substituted with a substituent selected from the group consisting of hydroxy, halogen, aryl, and heterocycle, and C₂₋₆alkynyl which may be optionally substituted with a substituent selected from the group consisting of hydroxy, halogen, aryl, C₃₋₆cycloalkyl, and heterocycle; or heterocycle, optionally substituted with one or more substituents selected from the group consisting of C₁₋₈alkyl, -CN, C₆₋₁₄arylC₁₋₈alkyl and heterocycle;

15 R⁶ is C₁₋₈alkyl, optionally substituted with one or more substituents selected from the group consisting of hydroxy, halogen, -CF₃, aryl, and heterocycle;

20 R⁷ is C₁₋₈ alkyl, optionally substituted with one or more substituents selected from the group consisting of hydroxy, halogen, aryl, C₃₋₆cycloalkyl and heterocycle; -NH₂; or heterocycle;

25 R⁴ is heterocycle, optionally substituted with one or more substituents selected from the group consisting of oxo, hydroxy, hydroxyC₁₋₈alkyl, halogen, C₁₋₈alkyl, -OR¹¹ and -SR¹⁰N(R¹⁰)₂; or C₆₋₁₄aryl substituted with one or more substituents selected from the group consisting of hydroxy, halogen, -CF₃, C₁₋₈alkyl, hydroxyC₁₋₈alkyl, -CN, -NO₂, C₁₋₈alkylamino, heterocycleC₁₋₈alkyl, -C(O)NH₂, -S(O)R⁷, -S(O)₂R⁷, -C(O)R⁷, -NS(O)₂R⁷, -S(O)₂NR⁸R⁹, -OR¹¹, -S(O)₂NHR¹¹, S(O)₂R¹¹, OR¹¹OR¹¹, -C(O)R¹¹, -C(O)NR¹¹, -C(O)OR¹¹, -NR¹¹, -NC(O)R¹¹, heterocycleC₂₋₆alkenyl, heterocycle which

may be optionally substituted with one or more substituents selected from the group consisting of oxo, C₁₋₈alkyl, and -C(O)OR¹¹, and C₁₋₈alkyl which may be optionally substituted with one or more substituents selected from the group consisting of -CN and heterocycle, optionally substituted with -C(O)R¹¹;

5 R⁸ and R⁹ are independently selected from the group consisting of hydrogen; C₃₋₆cycloalkyl; C₁₋₈alkyl optionally substituted with one or more substituents selected from the group consisting of oxo, heterocycle, CN and C₆₋₁₄aryl optionally substituted with alkoxy, C₁₋₈ alkylamino, C₁₋₈alkylheterocycle, heterocycle, heterocycleC₁₋₈alkyl, C₃₋₆cycloalkylC₁₋₈alkyl, and C₃₋₆cycloalkyl; or -C(O)NH₂;

10

R¹⁰ is C₁₋₈alkyl;

15 R¹¹ is C₁₋₈alkyl, optionally substituted with one or more substituents selected from the group consisting of hydrogen, C₁₋₈alkyl, alkoxy, -S(O)₂NR⁸R⁹, -NR⁸R⁹ and heterocycle, optionally substituted with one or more substituents selected from the group consisting of oxo and C₁₋₈alkyl;

20 R⁵ is hydrogen; halogen; C₁₋₈alkyl; -NO₂; -NH₂; C₁₋₈alkylamino; CF₃, or alkoxy; or a pharmaceutically acceptable derivative thereof,

provided that:

25 (a) when R⁴ is C₆₋₁₄aryl substituted with OR¹¹ wherein R¹¹ is NR⁸R⁹ wherein R⁸ and R⁹ are C₁₋₈alkyl, and R¹ is C₆₋₁₄aryl, then R¹ cannot be substituted in the para position, and

30 (b) R¹ and R⁴ cannot both be unsubstituted.

25 18. A compound of formula (III) according to claim 17 wherein R¹ is C₆₋₁₄aryl substituted with one or more substituents selected from the group consisting of halogen, -CF₃, C₁₋₈alkyl, -CN, -SR⁶, -S(O)₂R⁶; or heterocycle, optionally substituted with one or more substituents selected from the group consisting of C₁₋₈alkyl, -CN, and C₆₋₁₄arylC₁₋₈alkyl; R⁶ is C₁₋₈alkyl, optionally substituted with halogen; R⁷ is C₁₋₈ alkyl, optionally substituted with one or more substituents selected from the group consisting of hydroxy, -NH₂, or heterocycle; R⁴ is heterocycle, optionally substituted with one or more substituents selected from the group consisting of oxo, halogen, C₁₋₈alkyl, -OR¹¹ and -SR¹⁰N(R¹⁰)₂; or

C₆-14aryl substituted with one or more substituents selected from the group consisting of hydroxy, -CF₃, C₁-8alkyl, hydroxyC₁-8alkyl, -CN, -NO₂, -C(O)NH₂, -S(O)₂R⁷, -S(O)₂NR⁸R⁹, -OR¹¹, -C(O)NR¹¹, -C(O)OR¹¹, -NR¹¹, -NC(O)R¹¹, heterocycle which may be optionally substituted with one or more substituents selected from the group consisting of oxo and C₁-8alkyl; R⁸ and R⁹ are the same or different and are selected from the group consisting of hydrogen, C₁-8alkyl, C₁-8alkylheterocycle, heterocycle, and C₃-6cycloalkyl; R¹⁰ is C₁-8alkyl; R¹¹ is C₁-8alkyl, optionally substituted with -S(O)₂NR⁸R⁹; and R⁵ is halogen or -NO₂; or a pharmaceutically acceptable derivative thereof.

5 19. A compound of formula (III) according to claim 17 wherein R¹ is C₆-14aryl substituted with one or more substituents selected from the group consisting of halogen, -CF₃, C₁-8alkyl, and -CN; R⁴ is C₆-14aryl substituted with one or more substituents selected from the group consisting of halogen, C₁-8alkyl, -CN, -NO₂, -S(O)R⁷, -S(O)₂R⁷, -NS(O)₂R⁷, wherein R⁷ is -NH₂; and R⁵ is halogen; or a pharmaceutically acceptable derivative thereof.

15 20. A compound according to any of claims 1, 3, 4, 5, 6, 7, 17, 18, or 19 wherein
20 R¹ is phenyl which is substituted in the *meta* position with one or more substituents selected from the group consisting of halogen, -CF₃, C₁-8alkyl, C₁-8alkylamino, alkoxy, C₃-6cycloalkylC₂-6alkenyl, C₆-14arylC₂-6alkenyl, -CN, -NO₂, -NH₂, -SR⁶, -S(O)₂R⁶, -S(O)R⁷, -S(O)₂R⁷, -C(O)R⁷, C₂-6alkenyl which may be optionally substituted with a substituent selected from the group consisting of hydroxy, halogen, aryl, and heterocycle, and C₂-6alkynyl which may be optionally substituted with a substituent selected from the group consisting of hydroxy, halogen, aryl, C₃-6cycloalkyl, and heterocycle;

R² is hydrogen;

R³ is hydrogen;

R⁴ is phenyl substituted in the *ortho* position with a substituent selected from the group consisting of hydroxy, halogen, -CF₃, or C₁-8alkyl and substituted at the *para* position with a substituent selected from the group consisting of hydroxy, halogen, -CF₃, C₁-8alkyl, hydroxyC₁-8alkyl, -CN, -NO₂, C₁-8alkylamino, heterocycleC₁-8alkyl, -C(O)NH₂, -S(O)R⁷, -S(O)₂R⁷, -C(O)R⁷, -NS(O)₂R⁷, -S(O)₂NR⁸R⁹, -S(O)₂NHR¹¹, -SO₂R¹¹, -OR¹¹

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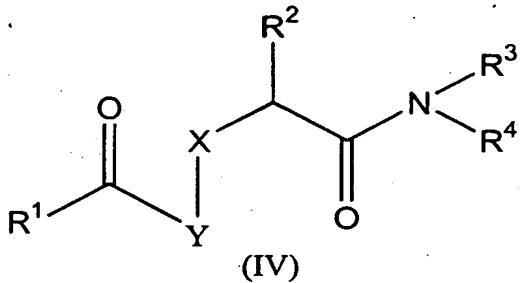
, -C(O)R¹¹, -C(O)NR¹¹, -C(O)OR¹¹, -NR¹¹, -NC(O)R¹¹, heterocycleC₂₋₆alkenyl, heterocycle which may be optionally substituted with one or more substituents selected from the group consisting of oxo, C₁₋₈alkyl, and C(O)OR¹¹, and C₁₋₈alkyl which may be optionally substituted with one or more substituents selected from the group consisting of -CN and heterocycle, optionally substituted with -C(O)R¹¹;

R⁵ is a substituent in the *para* position relative to X and is selected from the group consisting of halogen, C₁₋₈alkyl, -NO₂, -NH₂, C₁₋₈alkylamino, CF₃, or alkoxy;

R¹¹ is C₁₋₈alkyl, optionally substituted with one or more substituents selected from the group consisting of hydrogen, C₁₋₈alkyl, -S(O)₂NR⁸R⁹, -NR⁸R⁹, and heterocycle,

10 optionally substituted with one or more substituents selected from the group consisting of oxo and C₁₋₈alkyl; or a pharmaceutically acceptable derivative thereof.

21. A compound of formula (IV)



wherein:

X is C, O, or N;

20 Y is heterocycle optionally substituted with one or more substituents selected from the group consisting of halogen, C₁₋₈alkyl, -NO₂, -NH₂, C₁₋₈alkylamino, -CF₃, or alkoxy;

R¹ is C₁₋₈alkyl; C₃₋₆cycloalkyl; C₆₋₁₄aryl which may be optionally substituted with one or more substituents selected from the group consisting of halogen, -CF₃, C₁₋₈alkyl, C₁₋₈alkylamino, C₃₋₆cycloalkylC₂₋₆alkenyl, C₆₋₁₄arylC₂₋₆alkenyl, -CN, -NO₂, -NH₂, -SR⁶, -S(O)₂R⁶, -S(O)R⁷, -S(O)₂R⁷, -C(O)R⁷, C₂₋₆alkenyl which may be optionally substituted with a substituent selected from the group consisting of hydroxy, halogen, aryl, and heterocycle, and C₂₋₆alkynyl which may be optionally substituted with a substituent selected from the group consisting of hydroxy, halogen, aryl, C₃₋

ϵ cycloalkyl, and heterocycle; or heterocycle, optionally substituted with one or more substituents selected from the group consisting of C_{1-8} alkyl, -CN, C_{6-14} aryl C_{1-8} alkyl and heterocycle;

5 R^6 is C_{1-8} alkyl, optionally substituted with one or more substituents selected from the group consisting of hydroxy, halogen, -CF₃, aryl, and heterocycle;

R^7 is C_{1-8} alkyl, optionally substituted with one or more substituents selected from the group consisting of hydroxy, halogen, aryl, C_{3-6} cycloalkyl and heterocycle; -NH₂; or heterocycle;

10 R^2 is hydrogen, halogen, or C_{1-8} alkyl;

R^3 and R^4 are independently hydrogen; hydroxy; heterocycle, optionally substituted with one or more substituents selected from the group consisting of oxo, hydroxy, hydroxy C_{1-8} alkyl, halogen, C_{1-8} alkyl, OR¹¹ and -SR¹⁰N(R¹⁰)₂; or C_{6-14} aryl substituted with one or more substituents selected from the group consisting of hydroxy, halogen, -CF₃, C_{1-8} alkyl, hydroxy C_{1-8} alkyl, -CN, -NO₂, C_{1-8} alkylamino, heterocycle C_{1-8} alkyl, -C(O)NH₂, -S(O)R⁷, -S(O)₂R⁷, -C(O)R⁷, -NSO₂R⁷, -S(O)₂NR⁸R⁹, -OR¹¹, -C(O)R¹¹, -C(O)NR¹¹, -C(O)OR¹¹, -NR¹¹, -NC(O)R¹¹, heterocycle C_{2-6} alkenyl, heterocycle which may be optionally substituted with one or more substituents selected from the group consisting of oxo, C_{1-8} alkyl, and C(O)OR¹¹, and C_{1-8} alkyl which may be optionally substituted with one or more substituents selected from the group consisting of -CN and heterocycle, optionally substituted with -C(O)R¹¹; provided that R^3 and R^4 cannot both be hydrogen or hydroxy;

25 R^8 and R^9 are independently selected from the group consisting of hydrogen, C_{1-8} alkyl, C_{1-8} alkylamino, C_{1-8} alkylheterocycle, heterocycle, and C_{3-6} cycloalkyl;

R^{10} is C_{1-8} alkyl;

R^{11} is C_{1-8} alkyl, optionally substituted with one or more substituents selected from the group consisting of hydrogen, C_{1-8} alkyl, -SO₂NR⁸R⁹, and heterocycle, optionally substituted with one or more substituents selected from the group consisting of oxo and C_{1-8} alkyl;

30 R^5 is hydrogen, halogen, C_{1-8} alkyl, -NO₂, -NH₂, C_{1-8} alkylamino, CF₃, or alkoxy; or a pharmaceutically acceptable derivative thereof.

22. A compound of formula (IV) according to claim 21 wherein Y is a heterocycle substituted with one or more substituents selected from the group consisting of halogen, C₁₋₈alkyl, -NO₂, -NH₂, C₁₋₈alkylamino, -CF₃, or alkoxy; or a pharmaceutically acceptable derivative thereof. More preferred compounds of formula (IV) are compounds wherein X is O. Most preferred compounds of formula (IV) are those wherein X is O and Y is a heterocycle substituted with one or more substituents selected from the group consisting of halogen, C₁₋₈alkyl, -NO₂, -NH₂, C₁₋₈alkylamino, -CF₃, or alkoxy; or a pharmaceutically acceptable derivative thereof.

10

23. A compound selected from the group consisting of:

2-[2-(1-benzothiophen-2-ylcarbonyl)-4-chlorophenoxy]-N-phenylacetamide;

15 2-(2-benzoyl-4-chlorophenoxy)-N-[4-(1H-imidazol-1-yl)phenyl]acetamide;

2-[4-chloro-2-(2-thienylcarbonyl)phenoxy]-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

20 2-(2-benzoyl-4-chlorophenoxy)-N-[4-(1H-1,2,4-triazol-1-yl)phenyl]acetamide;

2-(2-benzoyl-4-chlorophenoxy)-N-[4-(4-morpholinyl)phenyl]acetamide;

N-[4-(aminosulfonyl)phenyl]-2-(2-benzoyl-4-chlorophenoxy)acetamide;

25

2-(2-benzoyl-4-chlorophenoxy)-N-{4-[(1,3-thiazol-2-ylamino)sulfonyl]phenyl}acetamide;

2-(2-benzoyl-4-chlorophenoxy)-N-[4-(4-methyl-1-piperazinyl)phenyl]acetamide;

30

2-(2-benzoyl-4-chlorophenoxy)-N-[4-(hydroxymethyl)phenyl]acetamide;

2-(2-benzoyl-4-chlorophenoxy)-N-{4-[(methylamino)sulfonyl]phenyl}acetamide;

35

2-(2-benzoyl-4-chlorophenoxy)-N-[4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

2-(2-benzoyl-4-chlorophenoxy)-N-[4-(1,1-dioxo-1lambda~6~,4-thiazinan-4-yl)phenyl]acetamide;

40

2-(2-benzoyl-4-chlorophenoxy)-N-[2-methyl-4-(4-morpholinyl)phenyl]acetamide;

2-(2-benzoyl-4-chlorophenoxy)-N-{4-[3-(dimethylamino)propoxy]-2-methylphenyl}acetamide;

2-(2-benzoyl-4-chlorophenoxy)-N-[4-(1-hydroxyethyl)phenyl]acetamide;

2-(2-benzoyl-4-chlorophenoxy)-N-[4-(1-hydroxyethyl)phenyl]acetamide;

5 2-(2-benzoyl-4-chlorophenoxy)-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

10 2-(2-benzoyl-4-chlorophenoxy)-N-{2-methyl-4-[3-(1-pyrrolidinyl)propoxy]phenyl}acetamide;

2-(2-benzoyl-4-chlorophenoxy)-N-(1H-indazol-5-yl)acetamide;

15 2-(2-benzoyl-4-chlorophenoxy)-N-{2-methyl-4-[3-(4-morpholinyl)propoxy]phenyl}acetamide;

2-(2-benzoyl-4-chlorophenoxy)-N-{4-[3-(1H-imidazol-1-yl)propoxy]-2-methylphenyl}acetamide;

20 2-(2-benzoyl-4-chlorophenoxy)-N-(1H-indazol-6-yl)acetamide;

2-[4-chloro-2-(2-thienylcarbonyl)phenoxy]-N-(1H-indazol-5-yl)acetamide;

25 2-[4-chloro-2-(2-furoyl)phenoxy]-N-(1H-indazol-5-yl)acetamide;

2-[4-chloro-2-(3-thienylcarbonyl)phenoxy]-N-(1H-indazol-5-yl)acetamide;

30 2-[4-chloro-2-(2-thienylcarbonyl)phenoxy]-N-{2-methyl-4-[3-(4-morpholinyl)propoxy]phenyl}acetamide;

2-[4-chloro-2-(2-thienylcarbonyl)phenoxy]-N-[4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

35 2-(2-benzoyl-4-chlorophenoxy)-N-{2-methyl-4-[3-(1-oxo-1lambda~4~,4-thiazinan-4-yl)propoxy]phenyl}acetamide;

2-[4-chloro-2-(2-furoyl)phenoxy]-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

40 N-[4-(aminosulfonyl)-2-methylphenyl]-2-(2-benzoyl-4-chlorophenoxy)acetamide;

N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(2-thienylcarbonyl)phenoxy]acetamide;

45 2-[2-(1-benzofuran-2-ylcarbonyl)-4-chlorophenoxy]-N-phenylacetamide

2-[4-chloro-2-(1,3-thiazol-2-ylcarbonyl)phenoxy]-N-phenylacetamide;

N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(2-furoyl)phenoxy]acetamide;

2-[4-chloro-2-(2-furoyl)phenoxy]-N-(1H-indazol-6-yl)acetamide;

5 2-[4-chloro-2-(3-furoyl)phenoxy]-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

10 2-[4-chloro-2-(3-thienylcarbonyl)phenoxy]-N-[4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

15 2-[4-chloro-2-(3-thienylcarbonyl)phenoxy]-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

20 2-{4-chloro-2-[(1-methyl-1H-pyrrol-2-yl)carbonyl]phenoxy}-N-phenylacetamide;

25 2-(4-chloro-2-{{5-(2-pyridinyl)-2-thienyl}carbonyl}phenoxy)-N-phenylacetamide;

30 2-[4-chloro-2-(1,3-thiazol-2-ylcarbonyl)phenoxy]-N-(1H-indazol-5-yl)acetamide;

35 2-[4-chloro-2-(1,3-thiazol-2-ylcarbonyl)phenoxy]-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

40 2-[4-chloro-2-(3-pyridinylcarbonyl)phenoxy]-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

45 2-[2-(2-bromobenzoyl)-4-chlorophenoxy]-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

2-[2-(4-bromobenzoyl)-4-chlorophenoxy]-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

35 N-[4-(aminosulfonyl)-2-methylphenyl]-2-[2-(2-bromobenzoyl)-4-chlorophenoxy]acetamide;

40 2-{4-chloro-2-[(5-methyl-3-isoxazolyl)carbonyl]phenoxy}-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

45 2-[4-chloro-2-(3-fluorobenzoyl)phenoxy]-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

2-[4-chloro-2-(3-chlorobenzoyl)phenoxy]-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3-cyanobenzoyl)phenoxy]acetamide;

N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3-fluorobenzoyl)phenoxy]acetamide;

5 N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3-chlorobenzoyl)phenoxy]acetamide;

10 2-{4-chloro-2-[(4-cyano-2-thienyl)carbonyl]phenoxy}-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

15 N-[4-(aminosulfonyl)-2-methylphenyl]-2-{4-chloro-2-[(4-cyano-2-thienyl)carbonyl]phenoxy} acetamide;

20 2-{4-chloro-2-[3-(trifluoromethyl)benzoyl]phenoxy}-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

25 2-[2-(3-bromobenzoyl)-4-chlorophenoxy]-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

30 2-[4-chloro-2-(3,5-difluorobenzoyl)phenoxy]-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

35 N-[4-(aminosulfonyl)-2-methylphenyl]-2-[2-(3-bromobenzoyl)-4-chlorophenoxy]acetamide;

40 2-[4-chloro-2-(3-methylbenzoyl)phenoxy]-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

45 2-[4-chloro-2-(3-cyanobenzoyl)phenoxy]-N-(5-methyl-1H-indazol-6-yl)acetamide;

50 N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3-pyridinylcarbonyl)phenoxy]acetamide;

55 2-[4-chloro-2-(3-cyanobenzoyl)phenoxy]-N-{2-methyl-4-[3-(1-pyrrolidinyl)propoxy]phenyl}acetamide;

60 N-[4-(aminosulfonyl)-2-methylphenyl]-2-{4-chloro-2-[(1-methyl-1H-imidazol-2-yl)carbonyl]phenoxy}acetamide;

65 N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(1,3-thiazol-2-ylcarbonyl)phenoxy]acetamide;

70 2-[4-chloro-2-(3,5-difluorobenzoyl)phenoxy]-N-{2-methyl-4-[3-(1-pyrrolidinyl)propoxy]phenyl}acetamide;

75 N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3,5-difluorobenzoyl)phenoxy]acetamide;

2-[4-chloro-2-[3-fluoro-5-(trifluoromethyl)benzoyl]phenoxy]-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide

5 N-(1,3-benzothiazol-6-yl)-2-(2-benzoyl-4-chlorophenoxy)acetamide

10 2-(4-chloro-2-{3-[(trifluoromethyl)sulfanyl]benzoyl}phenoxy)-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide

15 2-[4-chloro-2-(3-ethynylbenzoyl)phenoxy]-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

20 2-[4-chloro-2-(3,5-dichlorobenzoyl)phenoxy]-N-[2-methyl-4-(1-oxo-1lambda~4~,4-thiazinan-4-yl)phenyl]acetamide;

25 N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3,5-dichlorobenzoyl)phenoxy]acetamide;

30 N-[4-(aminosulfonyl)-2-methylphenyl]-2-{4-chloro-2-[3-fluoro-5-(trifluoromethyl)benzoyl]phenoxy}acetamide;

35 N-(1,3-benzothiazol-6-yl)-2-[4-chloro-2-(3,5-difluorobenzoyl)phenoxy]acetamide

40 2-[4-chloro-2-(3-cyanobenzoyl)phenoxy]-N-(2-methyl-1,3-benzothiazol-5-yl)acetamide

45 N-[4-(aminosulfonyl)-2-methylphenyl]-2-(4-chloro-2-{3-[(trifluoromethyl)sulfanyl]benzoyl}phenoxy)acetamide;

50 N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3-ethynylbenzoyl)phenoxy]acetamide;

55 2-(2-benzoyl-4-chlorophenoxy)-N-[4-(methylsulfonyl)phenyl]acetamide;

60 N-[4-(aminosulfonyl)-2-methylphenyl]-2-{4-chloro-2-[3-(2-cyclopentylethynyl)benzoyl]phenoxy}acetamide;

65 2-{4-chloro-2-[3-fluoro-5-(trifluoromethyl)benzoyl]phenoxy}-N-(5-methyl-1H-indazol-6-yl)acetamide;

70 2-[4-chloro-2-(3,5-dichlorobenzoyl)phenoxy]-N-(5-methyl-1H-indazol-6-yl)acetamide;

75 N-[4-(aminosulfonyl)-2-methylphenyl]-2-{4-chloro-2-[3-(2-phenylethynyl)benzoyl]phenoxy}acetamide;

80 2-[4-chloro-2-(3,5-difluorobenzoyl)phenoxy]-N-(5-methyl-1H-indazol-6-yl)acetamide;

85 2-[4-chloro-2-(3,5-difluorobenzoyl)phenoxy]-N-[2-methyl-4-(methylsulfonyl)phenyl]acetamide;

N-(1,2-benzisothiazol-5-yl)-2-[4-chloro-2-(3-cyanobenzoyl)phenoxy]acetamide;

2-[4-chloro-2-(3,5-dichlorobenzoyl)phenoxy]-N-(5-methyl-1H-benzimidazol-6-yl)acetamide;

5 2-[4-chloro-2-(3,5-difluorobenzoyl)phenoxy]-N-(5-methyl-1H-benzimidazol-6-yl)acetamide;

10 2-{4-chloro-2-[3-fluoro-5-(trifluoromethyl)benzoyl]phenoxy}-N-(5-methyl-1H-benzimidazol-6-yl)acetamide

2-[4-chloro-2-(3,5-difluorobenzoyl)phenoxy]-1-(2,3-dihydro-1H-indol-1-yl)-1-ethanone;

15 2-[4-chloro-2-(3-cyanobenzoyl)phenoxy]-N-[2-methyl-4-(methylsulfonyl)phenyl]acetamide;

2-[4-chloro-2-(3-ethynylbenzoyl)phenoxy]-N-[2-methyl-4-(methylsulfonyl)phenyl]acetamide;

20 N-{4-[3-(aminosulfonyl)propoxy]-2-methylphenyl}-2-[4-chloro-2-(3,5-difluorobenzoyl)phenoxy]acetamide;

2-[2-[3,5-bis(trifluoromethyl)benzoyl]-4-chlorophenoxy]-N-(5-methyl-1H-benzimidazol-6-yl)acetamide;

25 2-{2-[(5-bromo-3-pyridinyl)carbonyl]-4-chlorophenoxy}-N-(5-methyl-1H-benzimidazol-6-yl)acetamide;

2-{4-chloro-2-[3-fluoro-5-(trifluoromethyl)benzoyl]phenoxy}-N-(6-methyl-1,3-benzothiazol-5-yl)acetamide;

30 N-{4-[3-(aminosulfonyl)propoxy]-2-methylphenyl}-2-{4-chloro-2-[3-fluoro-5-(trifluoromethyl)benzoyl]phenoxy} acetamide;

35 N-[4-(aminosulfonyl)-2-methylphenyl]-2-(4-chloro-2-{3-[(trifluoromethyl)sulfonyl]benzoyl}phenoxy)acetamide;

2-[4-chloro-2-(3,5-difluorobenzoyl)phenoxy]-N-[4-(1,3-thiazol-2-yl)phenyl]acetamide

40 2-[4-chloro-2-(3,5-difluorobenzoyl)phenoxy]-N-[4-(1,3-oxazol-2-yl)phenyl]acetamide

2-[4-chloro-2-(3,5-difluorobenzoyl)phenoxy]-N-{4-[(3-hydroxypropyl)sulfonyl]-2-methylphenyl}acetamide;

45 2-{4-chloro-2-[3-fluoro-5-(trifluoromethyl)benzoyl]phenoxy}-N-(2-methyl-4-{3-[(methylamino)sulfonyl]propoxy}phenyl)acetamide;

2-{4-chloro-2-[3-fluoro-5-(trifluoromethyl)benzoyl]phenoxy}-N-(4-{3-[(dimethylamino)sulfonyl]propoxy}-2-methylphenyl)acetamide;

5 N-[4-(aminosulfonyl)-2-methylphenyl]-2-{2-[(5-bromo-3-pyridinyl)carbonyl]-4-chlorophenoxy}acetamide;

2-{4-chloro-2-[3-fluoro-5-(trifluoromethyl)benzoyl]phenoxy}-N-{4-[3-(1H-imidazol-1-yl)propoxy]-2-methylphenyl}acetamide;

10 2-{4-chloro-2-[3-fluoro-5-(trifluoromethyl)benzoyl]phenoxy}-N-{2-methyl-4-[(E)-4-(1-pyrrolidinyl)-1-but enyl]phenyl}acetamide;

N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3-cyano-5-fluorobenzoyl)phenoxy]acetamide;

15 N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3-cyano-5-methylbenzoyl)phenoxy]acetamide;

20 N-[6-(aminosulfonyl)-4-methyl-3-pyridinyl]-2-[4-chloro-2-(3-cyano-5-methylbenzoyl)phenoxy]acetamide;

N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3-chloro-5-cyanobenzoyl)phenoxy]acetamide;

25 N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3,5-dimethylbenzoyl)phenoxy]acetamide;

N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3-cyano-5-ethylbenzoyl)phenoxy]acetamide;

30 2-[4-chloro-2-(3-cyano-5-methylbenzoyl)phenoxy]-N-{4-[3-(2,5-dihydro-1H-pyrrol-1-yl)propoxy]-2-methylphenyl}acetamide hydrochloride;

N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3-chloro-5-methylbenzoyl)phenoxy]acetamide;

N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3,5-dichlorobenzoyl)phenoxy]acetamide;

40 N-[4-(aminosulfonyl)-2-methylphenyl]-2-{4-chloro-2-[(6-cyano-2-pyridinyl)carbonyl]phenoxy}acetamide;

N-[6-(aminosulfonyl)-2-methyl-3-pyridinyl]-2-[4-chloro-2-(3-cyano-5-methylbenzoyl)phenoxy]acetamide;

45 N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3,5-dicyanobenzoyl)phenoxy]acetamide;

N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-[3-cyano-5-(trifluoromethyl)benzoyl]phenoxy]acetamide;

and pharmaceutically acceptable derivatives thereof.

5 24. A compound selected from the group consisting of compound number 7, 32, 33, 36, 38, 44, 45, 49, 51, 52, 61, 65, 66, 71, 75, 76, 111, 112, 115, 118, 119, 128, 129, 171, 172, 191, 192, 199, 200, 206, 207, 224, 225, 232, 233, 235, 236, 246, 247, 253, 254, 255, 256, 259, 260, 261, 262, 264, 265, 267, 268, 288, 289, 290, 409, 412, 428, 430, 431, 433, 491, 10 564, 587, 475, 478, 498, 593, 483, 637, 503, 601, 658 and pharmaceutically acceptable derivatives thereof.

15 25. A compound selected from the group consisting of:

N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3-cyanobenzoyl)phenoxy]acetamide;

N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3-fluoro-5-(trifluoromethyl)benzoyl)acetamide;

20 N-[4-[3-(aminosulfonyl)propoxy]-2-methylphenyl]-2-[4-chloro-2-[3-fluoro-5-(trifluoromethyl)benzoyl]phenoxy]acetamide;

N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3-cyano-5-fluorobenzoyl)phenoxy]acetamide;

25 25 N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3-cyano-5-methylbenzoyl)phenoxy]acetamide;

30 30 N-[6-(aminosulfonyl)-4-methyl-3-pyridinyl]-2-[4-chloro-2-(3-cyano-5-methylbenzoyl)phenoxy]acetamide;

N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3-chloro-5-cyanobenzoyl)phenoxy]acetamide;

35 35 N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3,5-dimethylbenzoyl)phenoxy]acetamide;

N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3-cyano-5-ethylbenzoyl)phenoxy]acetamide;

40 40 2-[4-chloro-2-(3-cyano-5-methylbenzoyl)phenoxy]-N-[4-[3-(2,5-dihydro-1H-pyrrol-1-yl)propoxy]-2-methylphenyl]acetamide hydrochloride;

45 45 N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3-chloro-5-methylbenzoyl)phenoxy]acetamide;

N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3,5-dichlorobenzoyl)phenoxy]acetamide;

N-[4-(aminosulfonyl)-2-methylphenyl]-2-{4-chloro-2-[(6-cyano-2-pyridinyl)carbonyl]phenoxy}acetamide;

5 N-[6-(aminosulfonyl)-2-methyl-3-pyridinyl]-2-[4-chloro-2-(3-cyano-5-methylbenzoyl)phenoxy]acetamide;

10 N-[4-(aminosulfonyl)-2-methylphenyl]-2-[4-chloro-2-(3,5-dicyanobenzoyl)phenoxy]acetamide;

15 and pharmaceutically acceptable derivatives thereof.

Sub A/C
10 26. A compound according to any of claims 1, 3, 4, 5, 6, 7, 17, 18, or 19 wherein R¹ is C₆-₁₄ aryl substituted in the meta position, particularly with halogen and wherein R³ is hydrogen and R⁴ is C₆₋₁₄aryl substituted with C₁-galkyl, in particular methyl.

15 27. A method of treatment of a viral infection in a mammal comprising administering to said mammal an antivirally effective amount of a compound according to any of claims 1 to 26.

20 28. The method according to claim 27 wherein the viral infection is an HIV infection.

Sub A/S
25 29. A method of inhibiting HIV reverse transcriptase comprising administering to a mammal an effective amount of a compound according to any of claims 1 to 26.

30 30. A method of preventing HIV infection, or of treating HIV infection, comprising administering to a mammal an effective amount of a compound according to any of claims 1 to 26.

35 31. Use of a compound according to any of claims 1 to 26 in the manufacture of a medicament for the treatment of an HIV infection.

32. Use of a compound according to any of claims 1 to 26 in the treatment or prophylaxis of a viral infection.

35 33. The use according to claim 32 wherein the viral infection is an HIV infection.

Sub A/B
36 34. A pharmaceutical composition comprising an effective amount of a compound according to any of claims 1 to 26 together with a pharmaceutically acceptable carrier.

40 35. A pharmaceutical composition according to claim 34 in the form of a tablet or capsule.

36. A pharmaceutical composition according to claim 34 in the form of a liquid.

45 37. A compound as claimed in claims 1 to 26 for use as a medicament.

Sub A/D
A/D A/D